

We claim:

1. A method of generating a glycopeptide library, comprising the steps of:

(a) randomly glycosylating a platform having at least one glycosylation site with at least one glycosyl donor, optionally blocking unreacted glycosylation sites on the glycosylated platforms and optionally selectively removing one or more protecting groups on the carbohydrate groups introduced at the first level; whereby a first level library of glycosylated platforms is created; and then

(b) optionally randomly glycosylating said first level library of glycosylated platforms, or a combination of first level libraries of glycosylated platforms, with at least one glycosyl donor, and optionally selectively removing one or more designated protecting groups on the carbohydrate groups introduced at the second level; whereby a second level library of glycosylated platforms is created.

2. A method according to claim 1, which further comprises further randomly glycosylating said second level library of glycosylated platforms, or a combination of second level or first and second level libraries of glycosylated platforms, with at least one glycosyl donor, and optionally selectively removing one or more designated protecting groups on the carbohydrate groups introduced at the third level; whereby a third level library of glycosylated platforms is created; and optionally repeating the foregoing step to produce fourth and higher level libraries of increased diversity.

3. A method according to claim 2, wherein said peptide has an amino acid sequence GVTSAAPDTRPAPGSTA.

4. A method according to claim 2, wherein said peptide has an amino acid sequence GSTA.

5. A method according to claim 2, wherein said unreacted glycosylation sites are blocked.

6. A method according to claim 5, wherein said sites are blocked by acetylation.

7. A method according to claim 3, wherein said glycosyl donors are selected from the group consisting of GalNAC, β Gal(1-3) α GalNAC and sialyl.

8. A method according to claim 4, wherein said glycosyl donors are selected from the group consisting of GalNAC, β Gal(1-3) α GalNAC and sialyl.

9. A method according to claim 1, wherein hydroxyl groups on said glycosyl donors are protected prior to reaction of said glycosyl donors with said platforms or said glycosylated platforms.

10. A method according to claim 9, wherein said hydroxyl groups are deprotected after reaction with said platforms or said glycosylated platforms.

11. A method according to claim 10, wherein some of said hydroxyl groups are removed during said deprotection step.

12. A method according to claim 1, wherein said platform is a peptide.

13. A method according to claim 1, wherein said platform does not contain peptide linkages.

14. A method according to claim 1, wherein said platform comprises natural glycosylation sites.

15. A method according to claim 1, wherein said platform comprises unnatural glycosylation sites.

16. A method according to claim 1, wherein said platform comprises tandem repeats.

17. A method according to claim 1, wherein each glycosylation site on said platform is unique and distinguishable from other sites due to distinct structural features in the vicinity of the site.

18. A method according to claim 1, wherein said platform is a hybrid platform comprising a non-peptide polymer to which natural amino acid side chains with natural glycosylation sites are attached. -

19. A method according to claim 1, wherein said glycosylation sites provide hydroxy functions for O-glycosylation or carboxy or carboxamido functional groups for N-glycosylation.

20. A method according to claim 1, wherein said glycosylation sites include one or more of serine, threonine, hydroxylysine and asparagine.

21. A method according to claim 1, wherein said glycosylation sites consist entirely of d-optical configuration.

22. A method according to claim 1, wherein said platform is constructed entirely of d-amino acids.

23. A method according to claim 1, wherein said platform is linear.

24. A method according to claim 1, wherein said platform is cyclic.

25. A method according to claim 1, wherein said platform comprises a UV-active or fluorescent label.

26. A method according to claim 1, wherein said platform comprises hydrophobic amino acids which increase the solubility of the platform in organic solvents.

27. A method according to claim 1, wherein said glycosylation sites are spaced, singly or in clusters, between sequences that include hydrophobic amino acids.

28. A method according to claim 1, wherein lipid chains are incorporated into said platform.

29. A method according to claim 1, wherein said glycosyl donors are unnatural.

30. A method according to claim 1, wherein said glycosyl donors comprise structures associated with adhesion ligands for bacterial receptors that are expressed on human cell surface antigens.

31. A method according to claim 1, wherein said glycosyl donors comprise structures associated with malignant cell antigens.

32. A randomly-generated glycopeptide library.

33. A randomly-generated glycopeptide library according to claim 32, comprising carcinoma-associated mucins.

34. A library of glycosylated platforms produced by the method of claim 1.

35. A library of glycosylated platforms produced by the method of claim 2.

36. A library of glycosylated platforms produced by the method of claim 30.

37. A library of glycosylated platforms produced by the method of claim 31.

38. A method of identifying a biologically-active compound, comprising:

generating a library of glycosylated platforms according to claim 34; and

screening components of said library for drug-like, competitive inhibitory, immunostimulatory or antibody-like activity.

39. A method of identifying an anti-viral compound, comprising:

generating a library of glycosylated platforms according to claim 34; and

screening components of said library for anti-viral activity.

40. A method of identifying an anti-bacterial compound, comprising:

generating a library of glycosylated platforms according to claim 30; and

screening components of said library for the ability competitively to inhibit bacterial adhesion to a host cell.

41. A method of identifying compounds for detection or treatment of cancer, comprising:

generating a library of glycosylated platforms according to claim 31; and

screening components of said library for anti-cancer activity.